WHAT IS CLAIMED IS:

1. A compound of the formula:

$$Y^{1} \underbrace{V}_{Z} \underbrace{D_{c} \underbrace{V}_{D_{a}}^{X} \underbrace{V}_{O}^{A^{2}} \underbrace{A^{1 \cdot N \cdot} R^{2}}_{A^{1 \cdot N \cdot} R^{2}}$$

23 wherein

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R¹ and R² are each members independently selected from the group consisting of hydrogen, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl, heteroaryl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl, and heteroaryl(C₁-C₈)heteroalkyl, with the proviso that at least one of R¹ and R² is selected from the group consisting of aryl, heteroaryl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl and heteroaryl(C₁-C₈)heteroalkyl;

 A^1 is a member selected from the group consisting of L- α -amino acid fragments, D- α -amino acid fragments having the formula:

wherein

 R^3 is selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$ alkyl; R^4 and R^5 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$ alkyl and $(C_1\text{-}C_8)$ heteroalkyl, or can be individually combined with R^3 to form a 5-, 6-, 7- or 8-membered ring containing from one to three heteroatoms;

 A^2 is a member selected from the group consisting of L- α -amino acid fragments, D- α -amino acid fragments having the formula:

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22 wherein

23 R⁶ is selected from the group consisting of hydrogen and (C₁-C₄)alkyl; 24 R⁷ and R⁸ are each members independently selected from the group

25 consisting of hydrogen, (C_1-C_8) alkyl and (C_1-C_8) heteroalkyl, or can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to 26 27 three heteroatoms; 28 X is a member selected from the group consisting of a bond, a (C_1-C_4) 29 saturated or unsaturated alkylene linking group and a (C₁-C₄) saturated or unsaturated 30 heteroalkylene linking group; 31 D_a, D_b and D_c are each independently selected from the group consisting of 32 =N- and $=C(R^9)-$ 33 wherein each R⁹ is independently selected from the group consisting of hydrogen, 34 35 halogen, cyano, nitro, (C₁-C₆)alkyl, (C₁-C₆)heteroalkyl, (C₁-C₆)alkoxy, (C₁-C₆)thioalkoxy, $-NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O-C(O)OR^{10}$, $-NR^{11}-C(O)OR^{10}$, $-NR^{10}-SO_2R^{12}$, -36 NR^{10} -C(O) R^{11} , -SO₂ $NR^{10}R^{11}$, and -OC(O) $NR^{10}R^{11}$; wherein each R¹⁰ and R¹¹ are each independently a member selected from the group consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring <u>∓</u>.42 containing from zero to three heteroatoms; and 43 444 each R¹² is independently a member selected from the group consisting of (C₁- C_8)alkyl, (C_1-C_8) heteroalkyl, aryl and heteroaryl; [] |_45 U and Z are each independently selected from the group consisting of a single bond, -CH₂-, -CH(OH)-, -C(O)-, -CH₂O-, -CH₂CH₂-, -CH₂C(O)-, -O-, -S-, -S-CH₂-, -N(C(O)-46 (C_1-C_9) alkyl)-, -N(R¹³)- and -N(R¹³)-CH₂-; 47 48 wherein each R¹³ is a member selected from the group consisting of hydrogen, (C₁-49 C₈)alkyl, aryl and (C₁-C₈)heteroalkyl; 50 Y¹ and Y² are each independently selected from the group consisting of – 51 CO₂H and -CO₂R¹⁴; and 52 R¹⁴ is a member selected from the group consisting of (C₁-C₉)alkyl, and (C₁-53 C₉)heteroalkyl, or, alternatively, when Y¹ and Y² are each -CO₂R¹⁴, each R¹⁴ and the oxygen 54 55 to which it is attached, join to form a 5-, 6-, 7- or 8-membered heterocyclic ring.

2. The compound of claim 1, wherein D_a , D_b and D_c are each =CH-.

- 1 3. The compound of claim 1, wherein X is a (C_2-C_4) unsaturated alkylene
- 2 linking group.

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- 1 4. The compound of claim 1, wherein A¹ is selected from the group
- 2 consisting of L- α -amino acid fragments.
- 1 5. The compound of claim 1, wherein A² is selected from the group
- 2 consisting of L- α -amino acid fragments.
- 1 6. The compound of claim 1, wherein A^1 and A^2 are each independently
- 2 selected from the group consisting of L- α -amino acid fragments.
 - 7. The compound of claim 1, wherein A^1 and A^2 are each independently selected from the group consisting of L- α -amino acid fragments; X is a (C₂-C₄) unsaturated alkylene linking group; and D_a , D_b and D_c are each =CH-.
 - 8. The compound of claim 1, wherein U is selected from the group consisting of -CH₂- and -CH(OH)-.
 - 9. The compound of claim 1, wherein Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- 1 10. The compound of claim 1, wherein U is selected from the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-3, -NH- and -S-.
- 1 The compound of claim 1, wherein A^1 and A^2 are each independently
- 2 selected from the group consisting of a natural or unnatural L- α -amino acid fragments; X is a
- 3 (C₂-C₄) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from
- 4 the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of
- 5 -CH₂-, -O-, -NH- and -S-.
- 1 12. The compound of claim 11, wherein X is an unsaturated alkylene
- 2 moiety selected from the group consisting of -C(CH₃)=CH and -CH=C(CH₃).
- 1 13. The compound of claim 1, wherein R^1 and R^2 are each members
- 2 independently selected from the group consisting of (C_1-C_8) alkyl, aryl and aryl (C_1-C_8) alkyl.

- The compound of claim 11, wherein R¹ and R² are each members 1 14.
- independently selected from the group consisting of (C₁-C₈)alkyl, aryl and aryl(C₁-C₈)alkyl. 2
- The compound of claim 1, wherein R¹ is an optionally substituted 1 **15**.
- 2 phenyl group.
- The compound of claim 1, wherein R¹ is an optionally substituted 1 **16**.
- phenyl group and R² is an optionally substituted benzyl group. 2
- The compound of claim 11, wherein R¹ is an optionally substituted 1 **17**.
- 2 phenyl group.
- The compound of claim 11, wherein R¹ is an optionally substituted 1 18. phenyl group and R² is an optionally substituted benzyl group.
 - The compound of claim 1, wherein R¹ is an optionally substituted (C₁-**19**. C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl group.
- **20**. The compound of claim 1, wherein R¹ is a phenyl group substituted 2 3 3 4 with up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
 - CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
 - nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
 - C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl). 5
 - 1 21. The compound of claim 11, wherein R¹ is an optionally substituted
 - (C_1-C_8) alkyl or (C_1-C_8) heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl 2
 - 3 group.
 - The compound of claim 11, wherein R¹ is a phenyl group substituted 1 **22**.
 - with up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -2
 - CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-3
 - 4 nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
 - C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl). 5
 - The compound of claim 11, wherein Z is -O-; R¹ is a member selected 1 23.
 - 2 from the group consisting of an optionally substituted phenyl group or an optionally

- 3 substituted heteroaryl; and R² is a member selected from the group consisting of (C₁-C₈)alkyl,
- 4 (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, aryl (C_1-C_8) heteroalkyl, heteroaryl (C_1-C_8) alkyl and
- 5 heteroaryl(C_1 - C_8)heteroalkyl.

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- 24. The compound of claim 4, wherein A¹ is an L-α-amino acid fragment
 derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.
- 25. The compound of claim 5, wherein A² is an L-α-amino acid fragment
 derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L tert-butylglycine.
 - 26. The compound of claim 11, wherein A^1 is an L- α -amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A^2 is an L- α -amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-tert-butylglycine.
 - 27. The compound of claim 26, wherein R^1 and R^2 are each members independently selected from the group consisting of substituted or unsubstituted (C_1 - C_8)alkyl, substituted or unsubstituted aryl and substituted or unsubstituted aryl(C_1 - C_8)alkyl.
 - 28. The compound of claim 27, wherein A^1 is an L- α -amino acid fragment derived from L-alanine or L-proline; and A^2 is an L- α -amino acid fragment derived from L-valine, L-leucine, L-isoluecine, or L-tert-butylglycine.
- 29. The compound of claim 27, wherein A¹ is an L-α-amino acid fragment
 derived from L-proline; and A² is an L-α-amino acid fragment derived from L-tert butylglycine.
 - 30. The compound of claim 1, having the formula:

$$Y^1 \cup Y^2 \cup Y^2 \cup Y^3 \cup Y^4 \cup Y^4$$

3 wherein

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and $-NR^{15}R^{16}$; 5 W² and W³ are each members independently selected from the group 6 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸; 7 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from 8 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, 9 10 $aryl(C_1-C_8)$ heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl; 11 U and Z are each members independently selected from the group consisting 12 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

31. The compound of claim 1, having the formula:

wherein

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 R^2 is a member selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl;

 W^{1} is a member selected from the group consisting of -H, $-OR^{15}$ and $-NR^{15}R^{16}$:

8 W² is a member selected from the group consisting of hydrogen, halogen,

9 - R^{17} , - CO_2R^{17} , - OR^{17} , - $NR^{17}R^{18}$ and - $CONR^{17}R^{18}$;

wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from

 $11 \qquad \text{the group consisting of hydrogen, aryl, } (C_1-C_8) alkyl, \\ (C_1-C_8) heteroalkyl, aryl(C_1-C_8) alkyl, \\ (C_1-C_8) a$

 $12 \quad \text{aryl} (C_1\text{-}C_8) \text{heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;} \\$

U and Z are each members independently selected from the group consisting

14 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-.

32. The compound of claim 1, having the formula:

$$Y^{1} \cup V \cup W^{1} \cup W^{2} \cup W^{2} \cup W^{3}$$

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3 4 wherein

W¹ is a member selected from the group consisting of -H, $-OR^{15}$ and $-NR^{15}R^{16}$;

W² and W³ are each members independently selected from the group consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-.

33. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a compound having the formula:

$$Y^{1} \underbrace{V}_{Z} \underbrace{D_{c} \underbrace{X}_{D_{a}} \underbrace{A^{2}_{A^{1}} \underbrace{N}_{R^{2}}}_{A^{2}} R^{1}}_{A^{2} \underbrace{N}_{R^{2}} R^{2}}$$

wherein

 R^1 and R^2 are each members independently selected from the group consisting

of hydrogen, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl, heteroaryl, aryl (C_1-C_8) alkyl, aryl, aryl

7 C_8)heteroalkyl, heteroaryl (C_1-C_8) alkyl, and heteroaryl (C_1-C_8) heteroalkyl, with the proviso

8 that at least one of R¹ and R² is selected from the group consisting of aryl, heteroaryl,

9 $aryl(C_1-C_8)alkyl$, $aryl(C_1-C_8)heteroalkyl$, heteroaryl $(C_1-C_8)alkyl$ and heteroaryl $(C_1-C_8)alkyl$

10 C₈)heteroalkyl;

 A^{1} is a member selected from the group consisting of L- α -amino acid

fragments, $D-\alpha$ -amino acid fragments and fragments having the formula:

13 14

11

wherein

R³ is selected from the group consisting of hydrogen and (C₁-C₄) alkyl;
R⁴ and R⁵ are each members independently selected from the group
consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be
individually combined with R³ to form a 5-, 6-, 7- or 8-membered ring containing from one to
three heteroatoms;

A² is a member selected from the group consisting of L-α-amino acid
fragments, D-α-amino acid fragments and fragments having the formula:

R⁷, R⁸

 wherein

R⁶ is selected from the group consisting of hydrogen and (C₁-C₄)alkyl;

R⁷ and R⁸ are each members independently selected from the group consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms;

X is a member selected from the group consisting of a bond, a (C_1-C_4) saturated or unsaturated alkylene linking group and a (C_1-C_4) saturated or unsaturated heteroalkylene linking group;

 $D_a,\,D_b \text{ and } D_c \text{ are each independently selected from the group consisting of}$ =N- and =C(R^9)-

wherein

each R⁹ is independently selected from the group consisting of hydrogen, halogen, cyano, nitro, (C₁-C₆)alkyl, (C₁-C₆)heteroalkyl, (C₁-C₆)alkoxy, (C₁-C₆)thioalkoxy, - NR¹⁰R¹¹, -C(O)OR¹⁰, -C(O)NR¹⁰R¹¹, -O-C(O)OR¹⁰, -NR¹¹-C(O)OR¹⁰, -NR¹⁰-SO₂R¹², -NR¹⁰-C(O)R¹¹, -SO₂NR¹⁰R¹¹, and -OC(O)NR¹⁰R¹¹; wherein each R¹⁰ and R¹¹ are each independently a member selected from the group consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring

containing from zero to three heteroatoms; and each R^{12} is independently a member selected from the group consisting of (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl and heteroaryl;

46 U and Z are each independently selected from the group consisting of a single 47 bond, -CH₂-, -CH(OH)-, -C(O)-, -CH₂O-, -CH₂CH₂-, -CH₂C(O)-, -O-, -S-, -S-CH₂-, $-N(C(O)-(C_1-C_9)alkyl)-$, $-N(R^{13})-$ and $-N(R^{13})-CH_2-$; 48 49 wherein R¹³ is a member selected from the group consisting of H, (C₁-C₈)alkyl, aryl 50 and (C_1-C_8) heteroalkyl; 51 Y¹ and Y² are each independently selected from the group consisting of -52 CO₂H and -CO₂R¹⁴ 53 54 wherein R^{14} is a member selected from the group consisting of (C_1-C_9) alkyl, 55 (C_1-C_9) heteroalkyl, or, alternatively, when Y¹ and Y² are each $-CO_7R^{14}$, each R^{14} and the 56 57 oxygen to which it is attached, join to form a 5-, 6-, 7-, or 8-membered heterocyclic ring. 34. The pharmaceutical composition of claim 33, wherein D_a, D_b and D_c are each =CH-. **35**. The pharmaceutical composition of claim 33, wherein X is a (C_2-C_4) unsaturated alkylene linking group. į. F= 1 The pharmaceutical composition of claim 33, wherein A¹ is selected **36**. 2 from the group consisting of L- α -amino acid fragments. The pharmaceutical composition of claim 33, wherein A² is selected 1 **37**. 2 from the group consisting of L- α -amino acid fragments. The pharmaceutical composition of claim 33, wherein A¹ and A² are 1 **38**.

1 39. The pharmaceutical composition of claim 33, wherein A¹ and A² are

each independently selected from the group consisting of L-α-amino acid fragments.

- each independently selected from the group consisting of L- α -amino acid fragments; X is a
- 3 (C_2 - C_4) unsaturated alkylene linking group; and D_a , D_b and D_c are each =CH-.

- 1 40. The pharmaceutical composition of claim 33, wherein U is selected 2 from the group consisting of -CH₂- and -CH(OH)-.
- 1 41. The pharmaceutical composition of claim 33, wherein Z is selected 2 from the group consisting of -CH₂-, -O-, -NH- and -S-.

1	42.	The pharmaceutical composition of claim 33, wherein U is selected
2	from the group consisting of -CH ₂ - and -CH(OH)-; and Z is selected from the group	
3	consisting of -CH ₂ -, -	O-, -NH- and -S

- 43. The pharmaceutical composition of claim 33, wherein A^1 and A^2 are each independently selected from the group consisting of a natural or unnatural L- α -amino acid fragments; X is a (C₂-C₄) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- 44. The pharmaceutical composition of claim 43, wherein X is an unsaturated alkylene moiety selected from the group consisting of -C(CH₃)=CH and -CH=C(CH₃).
 - 45. The pharmaceutical composition of claim 33, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8) alkyl, aryl and aryl (C_1-C_8) alkyl.
- 46. The pharmaceutical composition of claim 43, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8) alkyl, aryl and aryl (C_1-C_8) alkyl.
- 47. The pharmaceutical composition of claim 33, wherein R¹ is an optionally substituted phenyl group.
- 48. The pharmaceutical composition of claim 33, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.
- 1 49. The pharmaceutical composition of claim 43, wherein R¹ is an optionally substituted phenyl group.
- The pharmaceutical composition of claim 43, wherein R¹ is an optionally substituted phenyl group and R² is an optionally substituted benzyl group.
- The pharmaceutical composition of claim 33, wherein R¹ is an optionally substituted (C₁-C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl group.

2 group substituted with up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -3 CH₂NHCO-CH=CH-(3-nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, 4 5 -OPh, -CON(CH₃)₂, -C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl). The pharmaceutical composition of claim 43, wherein R¹ is an 1 53. optionally substituted (C₁-C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally 2 3 substituted phenyl or benzyl group. The pharmaceutical composition of claim 43, wherein R¹ is a phenyl 1 54. 2 group substituted with up to two members selected from the group consisting of -NHCONH₂, 13 4 4 5 1 2 3 4 4 5 5 -C(NH)NH₂, -CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl). **55**. The pharmaceutical composition of claim 43, wherein Z is -0; R^1 is a member selected from the group consisting of an optionally substituted phenyl group or an optionally substituted heteroaryl; and R² is a member selected from the group consisting of (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, aryl (C_1-C_8) heteroalkyl, heteroaryl (C_1-C_8) C_8)alkyl and heteroaryl(C_1 - C_8)heteroalkyl. ļ.ā The pharmaceutical composition of claim 36, wherein A^1 is an L- α -1 **56**. 2 amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-3 proline. The pharmaceutical composition of claim 37, wherein A^2 is an L- α -1 **57**. 2 amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, 3 L-threonine and L-tert-butylglycine. 1 The pharmaceutical composition of claim 43, wherein A^1 is an L- α -**58**.

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The pharmaceutical composition of claim 33, wherein R¹ is a phenyl

amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-

proline; and A² is an L-α-amino acid fragment derived from L-valine, L-leucine, L-

methionine, L-lysine, L-isoluecine, L-threonine and L-tert-butylglycine.

- The pharmaceutical composition of claim 58, wherein R¹ and R² are each members independently selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl, substituted or unsubstituted aryl and substituted or unsubstituted aryl(C₁-C₈)alkyl.
- 60. The pharmaceutical composition of claim 59, wherein A¹ is an L-α amino acid fragment derived from L-alanine or L-proline; and A² is an L-α-amino acid
 fragment derived from L-valine, L-leucine, L-isoluecine, or L-tert-butylglycine.
- 61. The pharmaceutical composition of claim 59, wherein A¹ is an L-α amino acid fragment derived from L-proline; and A² is an L-α-amino acid fragment derived
 from L-tert-butylglycine.
 - 62. The pharmaceutical composition of claim 33, said compound having the formula:

$$V_{1}^{1}$$
 V_{2}^{1} V_{2}^{1} V_{3}^{1} V_{1}^{1} V_{1}^{1} V_{2}^{1} V_{3}^{1} V_{4}^{1} V_{1}^{1} V_{1}^{1} V_{2}^{1} V_{3}^{1} V_{4}^{1} V_{1}^{1} V_{2}^{1} V_{3}^{1} V_{4}^{1} V_{4}^{1} V_{5}^{1} V_{7}^{1} V_{1}^{1} V_{1}^{1} V_{2}^{1} V_{3}^{1} V_{4}^{1} V_{5}^{1} V_{5

wherein

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and

6 $-NR^{15}R^{16}$;

9 10

W² and W³ are each members independently selected from the group

consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl,

aryl(C_1 - C_8)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

1 63. The pharmaceutical composition of claim 33, said compound having 2 the formula:

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wherein

R² is a member selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl;

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and

 $8 - NR^{15}R^{16}$;

W² is a member selected from the group consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-.

64. The pharmaceutical composition of claim 33, said compound having the formula:

3 4

wherein

5 W^1 is a member selected from the group consisting of -H, $-OR^{15}$ and

6 $-NR^{15}R^{16}$;

W² and W³ are each members independently selected from the group consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸; wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

65. A method for modulating a STAT6-dependent condition in a host, comprising administering to said host a STAT6-modulating amount of a compound of the formula:

$$Y^{1} \underbrace{ U }_{Z} \underbrace{ D^{1}_{c} \underbrace{ X }_{D^{1}_{b}} \underbrace{ A^{2}_{A^{1}} \overset{R^{1}}{N} R^{2} }_{O} }$$

wherein

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F= 13

 R^1 and R^2 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$ alkyl, $(C_1\text{-}C_8)$ heteroalkyl, aryl, heteroaryl, aryl $(C_1\text{-}C_8)$ alkyl, aryl $(C_1\text{-}C_8)$ heteroalkyl, heteroaryl $(C_1\text{-}C_8)$ heteroalkyl, with the proviso that at least one of R^1 and R^2 is selected from the group consisting of aryl, heteroaryl, aryl $(C_1\text{-}C_8)$ alkyl, aryl $(C_1\text{-}C_8)$ heteroalkyl, heteroaryl $(C_1\text{-}C_8)$ alkyl and heteroaryl $(C_1\text{-}C_8)$ heteroalkyl;

 A^1 is a member selected from the group consisting of L- α -amino acid fragments, D- α -amino acid fragments and fragments having the formula:

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wherein

R³ is selected from the group consisting of hydrogen and (C₁-C₄) alkyl;
R⁴ and R⁵ are each members independently selected from the group
consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be
individually combined with R³ to form a 5-, 6-, 7- or 8-membered ring containing from one to
three heteroatoms;

 A^2 is a member selected from the group consisting of L- α -amino acid fragments, D- α -amino acid fragments having the formula:

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wherein

 R^6 is selected from the group consisting of hydrogen and (C_1-C_4) alkyl; 25 R⁷ and R⁸ are each members independently selected from the group 26 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be 27 combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to 28 29 three heteroatoms; 30 X is a member selected from the group consisting of a bond, a (C_1-C_4) saturated or unsaturated alkylene linking group and a (C1-C4) saturated or unsaturated 31 heteroalkylene linking group; []33 []34 D_a, D_b and D_c are each independently selected from the group consisting of =N- and $=C(R^9)-$ 35 -36 wherein each R⁹ is independently selected from the group consisting of hydrogen, halogen, cyano, nitro, (C1-C6)alkyl, (C1-C6)heteroalkyl, (C1-C6)alkoxy, (C1-C6)thioalkoxy, -<u>1</u> 37 $NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O-C(O)OR^{10}$, $-NR^{11}-C(O)OR^{10}$, $-NR^{10}-SO_2R^{12}$, $-NR^{10}-SO_2R^$ [|] 38 $C(O)R^{11}$, $-SO_2NR^{10}R^{11}$, and $-OC(O)NR^{10}R^{11}$; ÷. 39 **5** 40 wherein each R¹⁰ and R¹¹ are each independently a member selected from the group 41 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or when attached to the same 42 nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring 43 containing from zero to three heteroatoms; and 44 each R¹² is independently a member selected from the group consisting of (C₁-45 46 C_8)alkyl, (C_1-C_8) heteroalkyl, aryl and heteroaryl; U and Z are each independently selected from the group consisting of a single 47 bond, -CH₂-, -CH(OH)-, -C(O)-, -CH₂O-, -CH₂CH₂-, -CH₂C(O)-, -O-, -S-, -S-CH₂-, -N(C(O)-48 (C_1-C_9) alkyl)-, -N(R¹³)- and -N(R¹³)-CH₂-; 49 50 wherein each R¹³ is a member selected from the group consisting of hydrogen, (C₁-51 C₈)alkyl, aryl and (C₁-C₈)heteroalkyl; 52

- Y^1 and Y^2 are each independently selected from the group consisting of CO_2H and $-CO_2R^{14}$; and
- R¹⁴ is a member selected from the group consisting of (C₁-C₉)alkyl, and (C₁-C₉)heteroalkyl, or, alternatively, when Y¹ and Y² are each -CO₂R¹⁴, each R¹⁴ and the oxygen
- 57 to which it is attached, join to form a 5-, 6-, 7- or 8-membered heterocyclic ring.
- 1 66. The method of claim 65, wherein D_a , D_b and D_c are each =CH-.
- 1 67. The method of claim 65, wherein X is a (C₂-C₄) unsaturated alkylene 2 linking group.
- 68. The method of claim 65, wherein A¹ is selected from the group
 consisting of L-α-amino acid fragments.

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- 69. The method of claim 65, wherein A^2 is selected from the group consisting of L- α -amino acid fragments.
- 70. The method of claim 65, wherein A^1 and A^2 are each independently selected from the group consisting of L- α -amino acid fragments.
- 71. The method of claim 65, wherein A^1 and A^2 are each independently selected from the group consisting of L- α -amino acid fragments; X is a (C₂-C₄) unsaturated alkylene linking group; and D_a , D_b and D_c are each =CH-.
- The method of claim 65, wherein U is selected from the group consisting of -CH₂- and -CH(OH)-.
- The method of claim 65, wherein Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- The method of claim 65, wherein U is selected from the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- 75. The method of claim 65, wherein A¹ and A² are each independently
 selected from the group consisting of a natural or unnatural L-α-amino acid fragments; X is a
 (C₂-C₄) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from

- 4 the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- The method of claim 75, wherein X is an unsaturated alkylene moiety selected from the group consisting of -C(CH₃)=CH and -CH=C(CH₃).
- The method of claim 65, wherein R¹ and R² are each members independently selected from the group consisting of (C₁-C₈)alkyl, aryl and aryl(C₁-C₈)alkyl.
- The method of claim 75, wherein R¹ and R² are each members independently selected from the group consisting of (C₁-C₈)alkyl, aryl and aryl(C₁-C₈)alkyl.
- The method of claim 65, wherein R¹ is an optionally substituted phenyl group.
 - 80. The method of claim 65, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.
 - 81. The method of claim 75, wherein R¹ is an optionally substituted phenyl group.
 - 82. The method of claim 75, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.
- 1 83. The method of claim 65, wherein R^1 is an optionally substituted (C_1 - C_8)alkyl or (C_1 - C_8)heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl
- 3 group.
- 1 84. The method of claim 65, wherein R¹ is a phenyl group substituted with
- 2 up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
- 3 CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
- 4 nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
- 5 C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).
- 1 85. The method of claim 75, wherein R¹ is an optionally substituted (C₁-
- 2 C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl
- 3 group.

- 1 86. The method of claim 75, wherein R¹ is a phenyl group substituted with
- 2 up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
- 3 CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
- 4 nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
- 5 C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).
- 1 87. The method of claim 75, wherein Z is -O-; R¹ is a member selected.
- 2 from the group consisting of an optionally substituted phenyl group or an optionally
- 3 substituted heteroaryl; and R² is a member selected from the group consisting of (C₁-C₈)alkyl,
- 4 (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, aryl (C_1-C_8) heteroalkyl, heteroaryl (C_1-C_8) alkyl and
- 5 heteroaryl(C_1 - C_8)heteroalkyl.
 - 88. The method of claim 68, wherein A^1 is an L- α -amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.
 - 89. The method of claim 69, wherein A^2 is an L- α -amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-tert-butylglycine.
 - 90. The method of claim 75, wherein A^1 is an L- α -amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A^2 is an L- α -amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-tert-butylglycine.
- 1 91. The method of claim 90, wherein R¹ and R² are each members 2 independently selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl, 3 substituted or unsubstituted aryl and substituted or unsubstituted aryl(C₁-C₈)alkyl.
- 92. The method of claim 91, wherein A¹ is an L-α-amino acid fragment
 derived from L-alanine or L-proline; and A² is an L-α-amino acid fragment derived from L-valine, L-leucine, L-isoluecine, or L-tert-butylglycine.
- 93. The method of claim 91, wherein A¹ is an L-α-amino acid fragment
 derived from L-proline; and A² is an L-α-amino acid fragment derived from L-tert butylglycine.

94. The method of claim 65, wherein said compound has the formula:

$$Y^1$$
 Y^2
 Z
 W^1
 W^1
 W^1
 W^1
 W^2
 W^3

23 wherein

W is a member selected from the group consisting of -H, -OR 15 and

5 $-NR^{15}R^{16}$;

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 W^2 and W^3 are each members independently selected from the group consisting of hydrogen, halogen, $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-.

95. The method of claim 65, wherein said compound has the formula:

3 wherein

R² is a member selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl;

 W^{1} is a member selected from the group consisting of -H, $-OR^{15}$ and

7 $-NR^{15}R^{16}$;

8 W² is a member selected from the group consisting of hydrogen, halogen,

9 $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl; U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

96. The method of claim 65, wherein said compound has the formula:

$$\begin{array}{c|c} Y^1 & W^1 \\ Y^2 & Z \end{array}$$

wherein

 $$W^{1}$$ is a member selected from the group consisting of –H, –OR 15 and -NR $^{15}R^{16}$;

W² and W³ are each members independently selected from the group consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-.

- 1 97. A method in accordance with claim 65, wherein said STAT6-
- 2 dependent condition is selected from the group consisting of allergic rhinitis, asthma, atopic
- 3 dermatitis, contact dermatitis, anaphylaxis, food or drug induced allergy, conjunctivitis,
- 4 uveitis, hypersensitivity reactions, alveolitis, psoriasis, Churg-Strauss syndrome, delayed-
- 5 type hypersensitivity, urticaria, angiodema, eczema, scleroderma, and systemic lupus
- 6 erythematosus.

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- 1 98. A method for treating a condition in a host, comprising administering
- 2 to said host an effective amount of a compound of claim 1, wherein said condition is selected
- 3 from the group consisting of allergic rhinitis, asthma, atopic dermatitis, contact dermatitis,
- 4 anaphylaxis, food or drug induced allergy, conjunctivitis, uveitis, hypersensitivity reactions,

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- alveolitis, psoriasis, Churg-Strauss syndrome, delayed-type hypersensitivity, urticaria, 5 angiodema, eczema, scleroderma, and systemic lupus erythematosus. 6
- The method in accordance with claim 98, wherein said compound of 99. 1 claim 1 is administered in combination with a second therapeutic agent. 2
 - The method in accordance with claim 99, wherein said second 100. therapeutic agent is selected from the group consisting of loratidine, fluticasone propionate, beclametasone diproprionate, budesonide, salmeterol xinafoate, ipratropium bromide, fexofenadine hydrochloride, cetirizine dihydrochloride, triamcinolone acetonide, cromolyn, salbutamol, montelukast sodium, ketotifen hydrogen fumarate, formoterol, zafirlukast, momefasone furoate, azelastine hydrochloride, epinastine, seratrodast, captropril, rampril, zofenopril, colchicine, enalapril, lisinopril, trandolapril, gold sodium thiomalate, calcipotriene, cyclosporine, vinblastine and dapsone.
 - The method in accordance with claim 99, wherein said compound of 101. claim 1 and said second therapeutic agent are administered sequentially.
 - A method in accordance with claim 99, wherein said compound of **102**. claim 1 and said second therapeutic agent are administered concurrently.
 - A method in accordance with claim 99, wherein said compound of 103. claim 1 and said second therapeutic agent are each administered at dosages of from 1/100 to 1/2 of their dosages when administered individually.
- A method in accordance with claim 99, wherein said compound of 1 104. claim 1 and said second therapeutic agent are each administered at dosages of from 1/10 to 2 1/4 of their dosages when administered individually. 3